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DETAILED DESCRIPTION

[Detailed Description of the Invention]**[0001]**

[Field of the Invention] This invention is effective in atopic dermatitis, seborrheic dermatitis, eczema, etc., and relates to the therapy external preparations of the dermatitis which has moreover very high safety.

[0002]

[Description of the Prior Art] Conventionally, steroids, such as adenocorticotropic hormone which has high anti-inflammatory activity, are mainly used for the therapy of dermatitis, such as atopic dermatitis. This kind of steroid adds vaseline, methyl cellulose, a surface-active agent, a synthetic resin emulsion, a granular material, etc., and, in the bottom, many things are creamy used by that purpose of use. There are some which constitute the liquefied gestalt containing a surface-active agent.

[0003] On the other hand, there are external preparations with safety high as other external preparations aiming at sterilization of ** skin, a sterilization action, ** coat operation, a promotion operation of the moisturization which prevents evaporation of the moisture of ** skin, etc. What [uses mineral salt such as sodium chloride, for this kind of external preparations] (U. S.P.3574854 item gazette), The thing (U. S.P.3859436 item gazette) which uses natural sugar, such as grape sugar, or the thing (U. S.P.3777597 item gazette) which uses plasma etc. is used as a compounding agent of external preparations.

[0004] This artificer is making polysaccharide contain grape sugar and sodium chloride as what activates skin cells and improves many diseases due to the cell depression of skin cells previously, The same environment as the skin-cells interstitial fluid was made to the skin surface, electrolyte balance and osmotic pressure balance were maintained normally, and the high aquosity skin and hair cosmetics of safety which promote normalization of an obstacle cell from the surface of the skin are invented (***** No. 1597430).

[0005]

[Problem(s) to be Solved by the Invention] However, although it has a high medicinal value -- adrenocorticosteroid shows control and anti-inflammatory activity of growth of fibrocyte -- why or a not much sweet effect is not looked at by the therapy of atopic dermatitis etc. Although the present of this cause is not clear, either, ointment and the oil for forming creamily have a cause, and there is an opinion it is supposed that it is for this oil to dissolve the horny layer of the skin and to prevent reproduction of the healthy skin. There is fear of side effects, such as functional disorders, such as control of a hypophysis and an adrenocortical function, other organs, an eye, by multiple use of an adrenocorticosteroid agent. In order to solve this, the amount of the steroid used used now is reduced, and to leave the high medicinal value as an anti-inflammatory agent is desired.

[0006] Though the purpose of skin sterilization and protection of the skin can be reached, the effect effective in the therapy of dermatitis, such as atopic dermatitis, is not accepted that the external preparations with high safety which uses sodium chloride etc. soften skin, make the skin sanitary, and smooth skin etc.

[0007] On the other hand, if this artificer is in the solution of the aquosity skin and hair cosmetics which were invented previously, Activating the skin and cells, such as tunica mucosa nasi, and doing so an effect remarkable in many diseases, such as a psoriasis, pigmentation or stomatitis, and pollinosis, in the state where there is no fear of side effects, by the outstanding osmotic pressure operation, is proved.

[0008] The cause of various condition, such as eczema which is a lesion in human being's skin, allergic dermatitis, and atopic dermatitis, Although it is that to which various factors related and is not yet clarified about the decisive cause, if it restricts in change with the cell level, the factor can be called obstacle of the film of a mitochondrion, or a cell membrane which is one of the intracellular organelles. That is, inhibition of intracellular breathing produces the skin which has caused inflammation by a certain cause according to the obstacle of the film of a mitochondrion, and production of the source slack ATP of activity of a cell (adenosine tri-phosphate) falls by this. With such shortage of ATP, the function of the active transport of a cell membrane falls and the fall of the transport capacity of the substance between a cell and the interstitial fluid takes place. Then, shortage of nutrient matter, such as intracellular glucose, is produced and the vicious circle of leading to the fall of production of ATP is made by extension.

[0009] Then, the ointment which is applied with an adrenocorticosteroid agent in view of many above-mentioned situations for this artificer and the oil for forming creamily, From a viewpoint whether to cause inhibition of intracellular breathing by the obstacle of the film of this mitochondrion. It is what eliminated oil (surface activity material) from the base of the external preparations containing the adrenocorticosteroid which had become common sense until now,

and noted using the water-soluble base containing polysaccharide instead, By daring to dissolve the adrenocorticosteroid of damage-at-sea solubility into solution, atopic dermatitis etc. can be recovered completely, moreover there is no fear of side effects, and it aims at offer of the therapy external preparations of the dermatitis which has very high safety.

[0010]

[Means for Solving the Problem]That the above-mentioned purpose should be attained, the 1st means of this invention carries out inclusion of the adrenocorticosteroid by a cyclodextrin, and there is in having dissolved into solution containing polysaccharide. Under the present circumstances, after dissolving under a room temperature using a gay mixer and carrying out inclusion of the adrenocorticosteroid, it adds, agitating in solution uniformly.

[0011]The 2nd means shows an ingredient ratio of the whole external preparations, and is adrenocorticosteroid 0.025-0.5 Weight % and a cyclodextrin are carried out and polysaccharide is made into 0.5 to 55 % of the weight 0.2 to 30% of the weight.

[0012]The 3rd means shows a kind of polysaccharide and dextran or pullulan is used for it.

[0013]The 4th means shows other ingredients added in solution, and makes it a means for technical-problem dissolution to use into solution what added grape sugar, mutan, lentinan, sodium chloride, a calcium chloride, and potassium chloride. The same environment as matrix liquid is made to cell surface with such solution, and since a cell promotes a tendency to perform normal activity, natural healing energy which the living body itself has, and an adrenocorticosteroid agent carry out synergy of it.

[0014]

[Embodiment of the Invention]Fundamental combination of this invention carries out inclusion of the adrenocorticosteroid beforehand by a cyclodextrin, in order to dissolve adrenocorticosteroid into the solution containing polysaccharide and to dissolve the adrenocorticosteroid of damage-at-sea solubility in solution.

[0015]Diflorasones, hydrocortisones, methylprednisolone, dexamethasones, and betamethasone are mainly used for adrenocorticosteroid, and content is 0.025-0.5 of the whole. It is considered as weight %. The cyclodextrin which carries out inclusion of this adrenocorticosteroid uses 0.2 to 30% of the weight of the whole.

[0016]Polysaccharide is added in the solution which dissolves adrenocorticosteroid. As this polysaccharide, dextran or pullulan is used and it is made 0.5 to 55% of the weight of the whole.

[0017]And the solution which dissolves adrenocorticosteroid has added grape sugar, mutan, lentinan, sodium chloride, a calcium chloride, and potassium chloride besides this polysaccharide.

[0018]

[Example]Next, the example of a formula and the example of a pharmacological test are given,

and the external preparations of this invention are explained concretely.

- Example of formula 1-dextran 10 (g)

Grape sugar 10 Malt sugar 5 Mannitol 15 Sodium chloride 0.2 Betamethasone 0.06

Cyclodextrin 15 Purified water 44.74 Whole quantity The 100.0g above-mentioned example of a formula, 10% of cyclodextrin solution is made using some purified water needed beforehand, and it adds, agitating betamethasone there.

Then, the remaining purified water, salts, and sugars are added.

[0019]Next, the effect of the example 1 of a formula is shown.

[0020]

[Table 1]

病態	対象人数(人)	有効性(点)	有効率(%)
アトピー性皮膚炎(1)	2 5	4 8	9 6
アトピー性皮膚炎(2)	1 0	1 9	9 5
アトピー性皮膚炎(3)	2 5	4 6	9 2
アトピー性皮膚炎(4)	2 5	4 9	9 8
脂漏性皮膚炎(1)	2 5	4 8	9 6
脂漏性皮膚炎(2)	1 0 0	1 9 8	9 9
脂漏性皮膚炎(3)	1 0	1 9	9 5
尋常性乾癬症	5	9	9 0
湿疹	2 5	4 8	9 6
ニキビ	5 0	9 9	9 9

[0021]Table 1 shows the result depended on the pharmacological test of the example 1 of a formula. With the validity at this time, by use of this invention external preparations, the totaling point of the everybody who made two points that by which the effect was checked 1 point in what had the other change in recovery 0 point in those without change is taken out, and it computes by the following formula.

[0022]

[Equation 1]

$$\text{合計点} = \frac{\text{有効性}}{\text{対象人数} \times 2 \times 100}$$

[0023]About atopic dermatitis, the result depended on the pharmacological test which went at each clinic in Nagareyama, Sendai, Omiya, and Kichijoji is shown, and other items show the data of the pharmacological test at the Nagareyama clinic.

[0024]The point which should be especially mentioned by this result also has a free example in side effects not having been accepted among 300 cases. And in a very effective thing and the

case of a pharmacological test, it is in the ratio of consumed water of 99% having been obtained in the therapy of the seborrheic dermatitis or a pimple to a disease with difficult recovery improvements, such as atopic dermatitis and seborrheic dermatitis.

[0025] And not less than 90% of recovery improvement was found by all the ratios of consumed water, and this invention external preparations became unnecessary from about seven days by the early person in 30 days. It recovered thoroughly by applying the solution (***** No. 1597430) containing polysaccharide except adrenocorticosteroid.

[0026]- Example of formula 2-dextran 10 (g)

Grape sugar Five Malt sugar Ten Mannitol 5 sodium chloride 0.1 potassium chloride 0.2 betamethasone sodium phosphate 0.12 Cyclodextrin Ten Purified water 59.58 Whole quantity Example of 100.0g-formula 3-pullulan 10 (g)

Betaine 15 Malt sugar 10 sodium chloride 0.1 Dexamethasone 0.06 Cyclodextrin 15 Purified water 49.84 Whole quantity Example of 100.0g-formula 4-dextran 5 (g)

Betaine 20 Malt sugar 5 sodium chloride 0.1 dexamethasone sodium phosphate 0.05

Cyclodextrin 15 Purified water 54.85 Whole quantity Example of 100.0g-formula 5-dextran 10 (g)

hydroxyethyl cellulose 2 betaine . 10 Mannitol 10 calcium chlorides 0.1 sodium chloride 0.1 Dextrin 7 dexamethasone sodium phosphate 0.1 Cyclodextrin 10 purified water 50.7 Whole quantity Example of 100.0g-formula 6-pullulan 15 (g)

hydroxyethyl cellulose 10 betaine . 15 Mannitol 5 calcium chlorides 0.1 sodium chloride 0.1 Dextrin Seven Dexamethasone 0.05 Cyclodextrin 15 purified-water 32.75 Whole quantity The effect by the not less than 100.0g examples 2 thru/or 6 of a formula, the effect of the example 1 of a formula -- ***** -- things were understood. These formulas are suitably chosen according to the condition of each dermatitis.

[0027] Next, comparison explanation is given about the conventional formula and its medicinal value.

[0028]- Example 7 of a formula - (conventional formula)

Betamethasone valerate 0.12 (g)

Methyl parahydroxybenzoate 0.15 white vaseline 99.73 Whole quantity Example 8 of a 100.0g-formula - (conventional formula)

Betamethasone valerate 0.12 (g)

Methyl parahydroxybenzoate 0.15 Surface-active agent 30 Purified water 69.73 Whole quantity The effect of the example 7 of a 100.0g formula is as follows.

[0029]

[Table 2]

病態	対象人数(人)	有効性(点)	有効率(%)
アトピー性皮膚炎(1)	10	2	10
アトピー性皮膚炎(2)	50	5	5
アトピー性皮膚炎(3)	25	5	10
アトピー性皮膚炎(4)	50	7	7
脂漏性皮膚炎(3)	50	5	5
湿疹	100	18	9

[0030]Table 2 shows the result depended on the pharmacological test of the example 7 of a formula (the calculating method of validity and the clinic of a pharmacological test are the same as Table 1). As a result, although there was individual difference in a pharmacological test, the pharmacological test of the example 7 (oily base) of a formula was completely far from recovery, and it was having used this formula, and condition got worse rather and it had the look also at the case which stops a pharmacological test. The fall tendency of effectiveness was also accepted in proportion to the days used for the whole.

[0031]Since the example 7 of a formula has prevented the cornification which is a physiological function of the skin from the above thing with the oily base, condition is not recovered, but this oily base itself becomes a cause and it is surmised that there is also a case where condition gets worse.

[0032]On the other hand, an aquosity base does not check the physiological function of the skin, but moreover, the example 1 of a formula makes the same environment as matrix liquid to cell surface, promotes normalization of a cell by the ingredient added to the aquosity base, and is considered that the high medicinal value as an anti-inflammatory agent of adrenocorticosteroid acts effectively.

[0033]

[Effect of the Invention]It constituted from this invention like ****.

Therefore, the original purpose is attained.

[0034]That is, inclusion of the adrenocorticosteroid was carried out by the cyclodextrin, the oil used for the conventional base dissolved the horny layer of the skin by having dissolved into the solution containing polysaccharide, and a possibility of preventing reproduction of the healthy skin was canceled.

[0035]They are adrenocorticosteroids, such as dextran or pullulan, 0.025-0.5 Weight %, 0.2 to 30% of the weight, carry out a cyclodextrin, make polysaccharide into 0.5 to 55 % of the weight, and in solution, By using what added grape sugar, mutan, lentinan, sodium chloride, a calcium chloride, and potassium chloride, Inhibition of intracellular breathing produced according to the obstacle of the film of a mitochondrion and the fall of production of the source

slack ATP of activity of a cell (adenosine tri-phosphate) can be prevented, electrolyte balance and osmotic pressure balance can be maintained, and the medicinal value of adrenocorticosteroid can be made to act effectively.

[0036]As a result, an aquosity base does not check the physiological function of the skin, but the synergistic effect of the natural healing energy which the living body itself has, and an adrenocorticosteroid agent is acquired. Therefore, in an oily base, an effect very effective in the therapy of atopic dermatitis, eczema, etc. as which a sweet effect is not regarded is acquired.

[0037]Since the total amount of the adrenocorticosteroid used by complete recovery also decreased, fear of side effects, such as functional disorders, such as control of the hypophysis and adrenocortical function by multiple use of adrenocorticosteroid, other organs, an eye, is also canceled.

[0038]Thus, according to this invention, it becomes possible to raise a ratio of consumed water by leaps and bounds as compared with old external preparations. It is what can be replaced for the conventional external preparations also from the safety that that atopic dermatitis, seborrheic dermatitis, etc. have a very effective recovery improvement, and have it to a difficult disease especially etc. has very few height of the recovery rate, and side effects, Being used for the patient etc. of the intractable dermatitis of a large number which are all over the world is expected. The meaning of this invention is very large and contributes to human beings greatly.

[Translation done.]